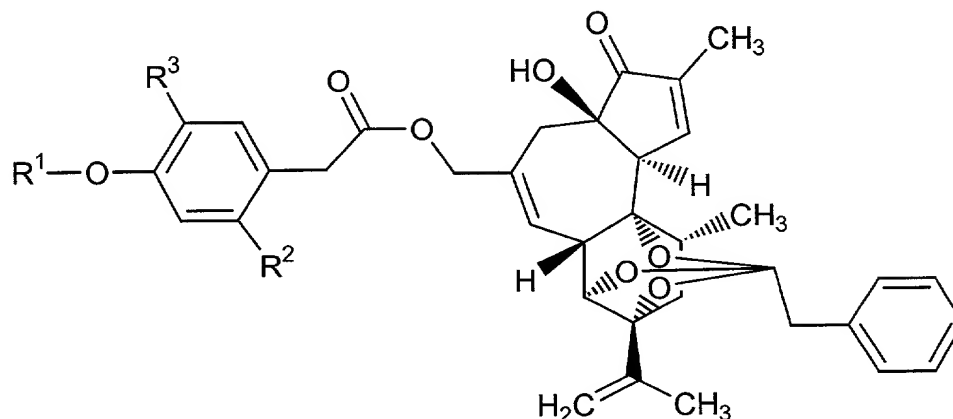


What is claimed is:

1. A method for preparing a resiniferatoxin derivative compound of Formula (I):



Formula (I)

5 wherein

R<sup>1</sup> is a substituent selected from the group consisting of hydrogen, C<sub>1-4</sub>alkylcarbonyl and formyl;

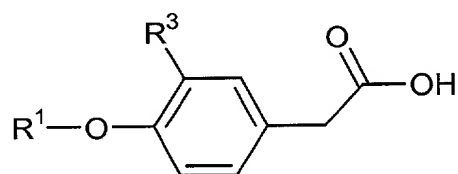
R<sup>2</sup> is iodine; and,

R<sup>3</sup> is a substituent selected from the group consisting of C<sub>1-4</sub>alkoxy and

10 hydroxy;

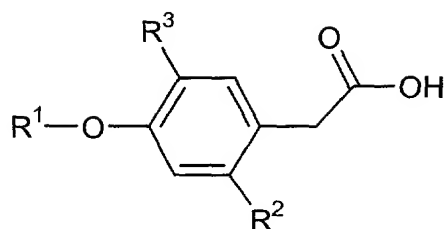
comprising,

iodinating the ortho position on the phenyl ring of a homovanillic acid derivative compound of Formula (II);



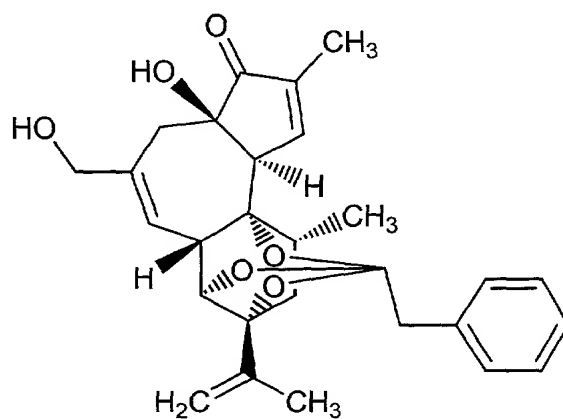
Formula (II)

to form an intermediate compound of Formula (III); and,



Formula (III)

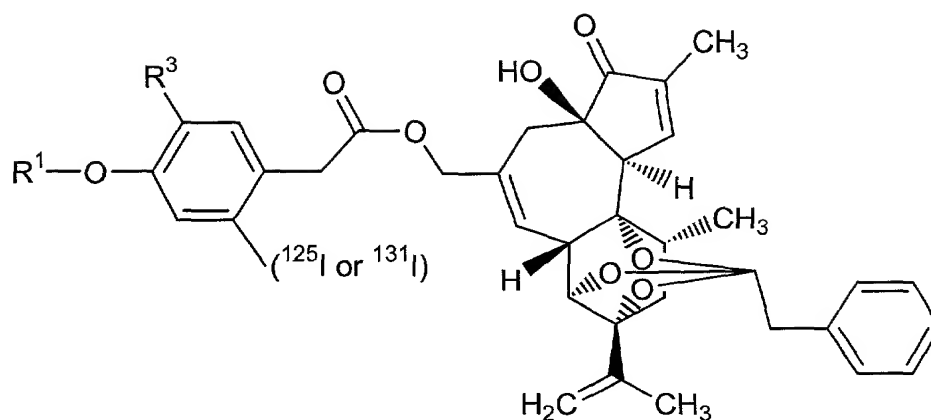
coupling the intermediate compound of Formula (III) with a resiniferonal orthophenylacetate alcohol compound of Formula (IV);



Formula (IV)

to form the compound of Formula (I).

- 5     2.     The method of claim 1 wherein R<sup>1</sup> is acetyl and R<sup>3</sup> is methoxy.
3.     The method of claim 1 wherein R<sup>2</sup> is <sup>127</sup>Iodine.
4.     The method of claim 1 wherein R<sup>2</sup> is selected from the group consisting  
10     of <sup>125</sup>Iodine and <sup>131</sup>Iodine.
5.     A method for preparing a labeled resiniferatoxin derivative compound of  
Formula (V):



Formula (V)

wherein

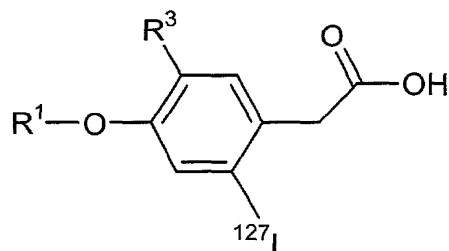
R<sup>1</sup> is a substituent selected from the group consisting of hydrogen,

C<sub>1-4</sub>alkylcarbonyl and formyl; and,

R<sup>3</sup> is C<sub>1-4</sub>alkoxy;

5 comprising,

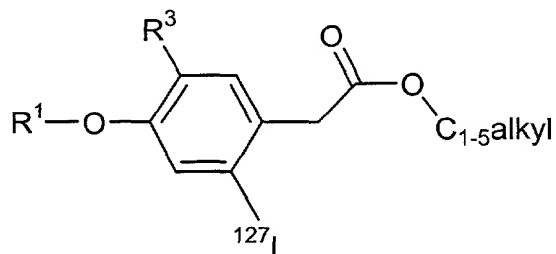
protecting the carboxylic acid of an intermediate compound of Formula (VI);



Formula (VI)

wherein the hydroxyl group of the compound of Formula (VI) is esterified with

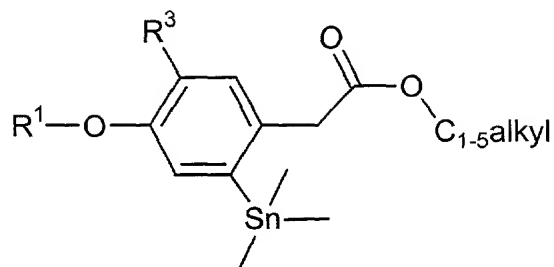
C<sub>1-5</sub> alkyl to form an esterified intermediate compound of Formula (VII);



Formula (VII)

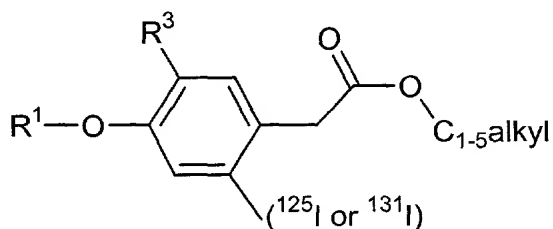
stannylating the compound of Formula (VII) to form a stannylated intermediate

10 compound of Formula (VIII);



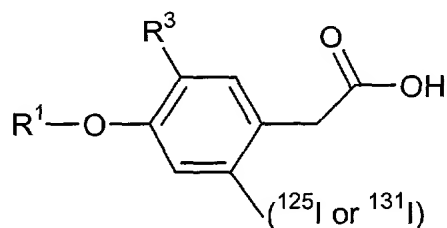
Formula (VIII)

iodinating the compound of Formula (VIII) to form a labeled intermediate compound of Formula (IX);



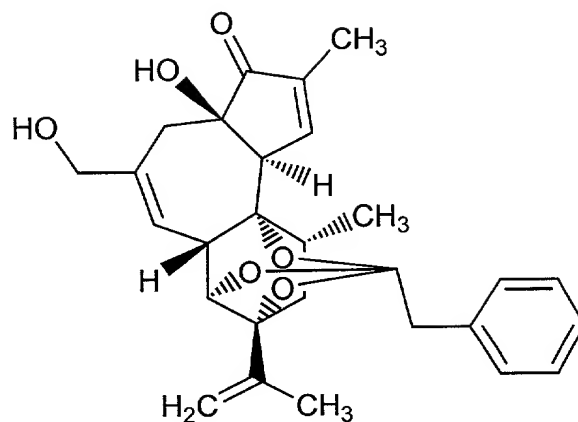
Formula (IX)

deprotecting the compound of Formula (IX) to form a labeled intermediate compound of Formula (X); and,



Formula (X)

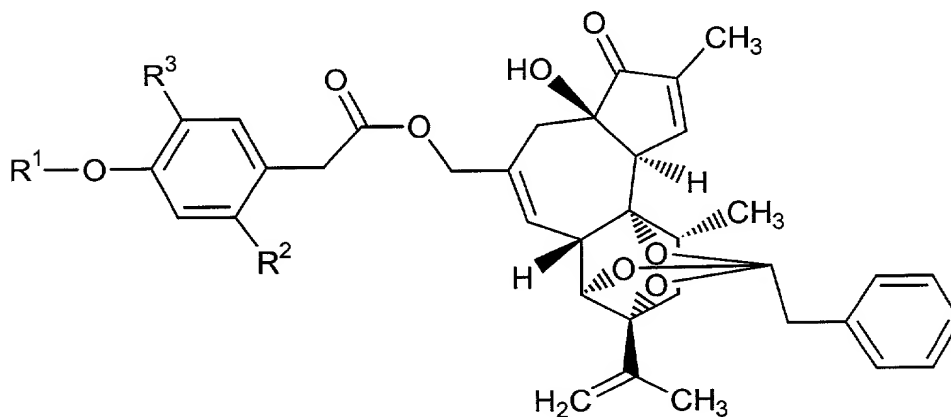
- 5 coupling the labeled intermediate compound of Formula (X) with a resiniferonal orthophenylacetate alcohol compound of Formula (IV);



Formula (IV)

to form the compound of Formula (V).

6. The method of claim 5 wherein C<sub>1-5</sub>alkyl is selected from the group consisting of *i*-propyl, *i*-butyl and *t*-butyl.
7. The method of claim 6 wherein C<sub>1-5</sub>alkyl is *t*-butyl, R<sup>1</sup> is acetyl and R<sup>3</sup> is methoxy.
8. A resiniferatoxin derivative compound of Formula (I)

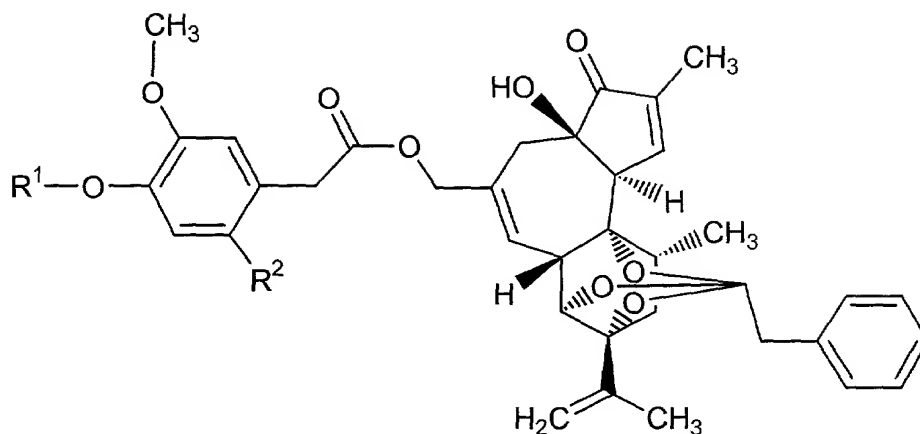


Formula (I)

- 10 wherein
  - R<sup>1</sup> is a substituent selected from the group consisting of hydrogen, C<sub>1-4</sub>alkylcarbonyl and formyl;
  - R<sup>2</sup> is iodine; and,
  - R<sup>3</sup> is a substituent selected from the group consisting of C<sub>1-4</sub>alkoxy and

hydroxy.

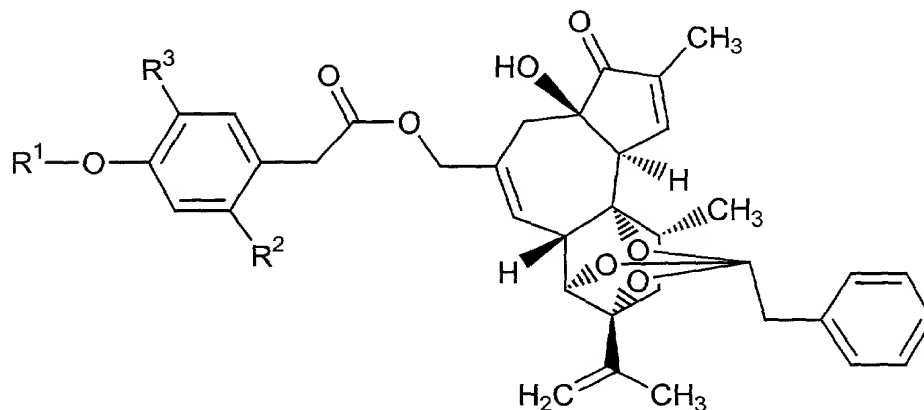
9. The compound of claim 8 wherein R<sup>1</sup> is a substituent selected from the group consisting of hydrogen, formyl, acetyl, ethylcarbonyl and propylcarbonyl.
10. The compound of claim 9 wherein R<sup>1</sup> is a substituent selected from the group consisting of hydrogen, formyl and acetyl.
11. The compound of claim 10 wherein R<sup>1</sup> is a substituent selected from the group consisting of hydrogen and acetyl.
12. The compound of claim 8 wherein R<sup>2</sup> is a substituent selected from the group consisting of <sup>125</sup>I, <sup>127</sup>I and <sup>131</sup>I.
13. The compound of claim 12 wherein R<sup>2</sup> is <sup>127</sup>I.
14. The compound of claim 12 wherein R<sup>2</sup> is <sup>125</sup>I.
15. The compound of claim 8 wherein R<sup>3</sup> is a substituent selected from methoxy, ethoxy, propoxy and butoxy.
16. The compound of claim 15 wherein R<sup>3</sup> is methoxy.
17. The compound of claim 8 selected from the group consisting of those of the formula:



wherein  $R^1$  and  $R^2$  are selected from

$R^1$	$R^2$
$C(O)CH_3$	I;
H	I;
$C(O)CH_3$	$^{125}$ iodine;
H	$^{125}$ iodine;
$C(O)CH_3$	$^{131}$ iodine; or,
H	$^{131}$ iodine.

18. A method for use of a resiniferatoxin derivative compound of Formula (I)



Formula (I)

wherein

5  $R^1$  is a substituent selected from the group consisting of hydrogen,

R<sup>3</sup> is a substituent selected from the group consisting of C<sub>1-4</sub>alkoxy and hydroxy;

19. The method of claim 18 wherein the membrane is selected from the group consisting of a cell membrane and a tissue membrane.

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